What is claimed is:

1. A method of effectively treating benign prostatic hypertrophy in a human patient, comprising:

administering terazosin transdermally to the human patient by applying a transdermal delivery system containing terazosin to the skin of a patient, and maintaining said transdermal delivery system in contact with the skin of said patient for at least 3 days, said transdermal delivery system maintaining an effective mean relative release rate to provide a therapeutic blood level of said terazosin within 36 hours from the initiation of the dosing interval, and thereafter maintaining a therapeutic blood level until the end of at least the three-day dosing interval.

- 2. The method of claim 1, further comprising providing a mean relative release rate of terazosin from said transdermal delivery system to provide a plasma level of terazosin of at least about 1.0 ng/ml within about 6 hours after application of said transdermal delivery system onto the skin of the patient.
- 3. The method of claim 1, further comprising maintaining a plasma level of terazosin at steady-state from about 10 to about 60 ng/ml.
- 4. The method of <u>claim 1</u>, wherein said therapeutic plasma level is maintained from about 1.0 ng/ml to about 60 ng/ml during the dosing interval for said transdermal delivery system.
- 5. The method of claim 1, wherein said transdermal delivery system has a mean relative release rate from about 1.0 μ g/hour/cm² to about 30 μ g/hour/cm² of said transdermal delivery system.
- 6. The method of claim 1, wherein said transdermal delivery system has a mean relative release rate from about 2.0 μg/hour/cm² to about 20 μg/hour/cm².



- 7. The method of claim 1, wherein said transdermal delivery system has a mean relative release rate from about $1.0 \,\mu\text{g/cm}^2/\text{hr}$ to about $30.0 \,\mu\text{g/cm}^2/\text{hr}$ at 24 hours; from about $1.0 \,\mu\text{g/cm}^2/\text{hr}$ to about $28.0 \,\mu\text{g/cm}^2/\text{hr}$ at 48 hours; and from about $1.0 \,\mu\text{g/cm}^2/\text{hr}$ to about $26.0 \,\mu\text{g/cm}^2/\text{hr}$ at 72 hours; as determined via an in-vitro permeation test utilizing a Valia-Chien cell where the membrane is a human cadaver skin and said cell has a receptor chamber containing a 40:60 mixture of Ethanol:water.
- 8. The method of claim 1, wherein said transdermal delivery system provides an invitro cumulative amount of permeation of from about $52.8 \,\mu\text{g/cm}^2$ to about $686.4 \,\mu\text{g/cm}^2$ at 24 hours; from about $105.6 \,\mu\text{g/cm}^2$ to about $1372.8 \,\mu\text{g/cm}^2$ at 48 hours; and from about $158.4 \,\mu\text{g/cm}^2$ to about $2059.2 \,\mu\text{g/cm}^2$ at 72 hours, as determined via an invitro permeation test utilizing a Valia-Chien cell where the membrane is a human cadaver skin and said cell has a receptor chamber containing a $40:60 \,\text{mixture}$ of ethanol:water.
- comprising:
 administering terazosin transdermally to the human patient by applying a transdermal delivery system containing terazosin to the skin of a patient, and maintaining said transdermal delivery system in contact with the skin of the patient for at least 5 days, said transdermal delivery system maintaining an effective mean relative release rate to provide a therapeutic blood level of said terazosin within three days from the initiation of the dosing interval, and thereafter maintaining a therapeutic blood level until the end of at least the five-day dosing interval.

9. A method of effectively treating benign prostatic hypertrophy in a human patient,

- 10. The method of claim 9 wherein the plasma level of terazosin at 48 hours does not decrease by more than 30% over the next 72 hours.
- 11. The method of claim 9, further comprising maintaining an effective mean relative release rate of said transdermal delivery system to provide a substantially first order plasma level increase of terazosin from the initiation of the dosing interval until about 48 to about 72 hours after the initiation of the dosing interval; and thereafter providing



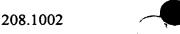
an effective mean relative release rate to provide a substantially zero order plasma level fluctuation of terazosin until the end of at least the five-day dosing interval.

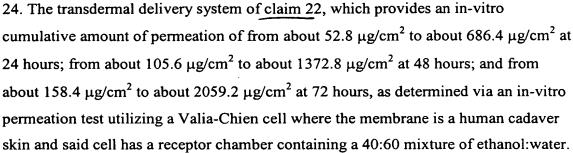
- 12. The method of claim 9, further comprising providing a mean relative release rate of terazosin from said transdermal delivery system to provide a plasma level of terazosin of at least about 1.0 ng/ml within about 6 hours after application of said transdermal delivery system onto the skin of the patient.
- 13. The method of <u>claim 9</u>, further comprising maintaining a plasma level of terazosin at steady-state from about 10 to about 60 ng/ml.
- 14. The method of claim 9, wherein said therapeutic plasma level is maintained from about 10 ng/ml to about 60 ng/ml during the dosing interval for said transdermal delivery system.
- 15. The method of claim 9, wherein said transdermal delivery system has a mean relative release rate from about 1.0 µg/hour/cm² to about 30 µg/hour/cm² of said transdermal delivery system.
- 16. The method of claim 9, wherein said transdermal delivery system has a mean relative release rate from about 2.0 µg/hour/cm² to about 20 µg/hour/cm².
- 17. The method of claim 9, wherein said transdermal delivery system has a mean relative release rate from about 1.0 µg/cm²/hr to about 30.0 µg/cm²/hr at 24 hours; from about 1.0 µg/cm²/hr to about 28.0 µg/cm²/hr at 48 hours; and from about 1.0 µg/cm²/hr to about 26.0 µg/cm²/hr at 72 hours; and from about 1.0 µg/cm²/hr to about 25.0 µg/cm²/hr at 96 hours; as determined via an in-vitro permeation test utilizing a Valia-Chien cell where the membrane is a human cadaver skin and said cell has a receptor chamber containing a 40:60 mixture of ethanol:water.
- 18. The method of claim 9, wherein said transdermal delivery system provides an invitro cumulative amount of permeation of from about 52.8 μg/cm² to about 686.4 μg/cm² at 24 hours; from about 105.6 μg/cm² to about 1372.8 μg/cm² at 48 hours; and



from about $158.4 \,\mu\text{g/cm}^2$ to about $2059.2 \,\mu\text{g/cm}^2$ at 72 hours; and from about $211.2 \,\mu\text{g/cm}^2$ to about $2745.6 \,\mu\text{g/cm}^2$ at 96 hours; as determined via an in-vitro permeation test utilizing a Valia-Chien cell where the membrane is a human cadaver skin and said cell has a receptor chamber containing a $40:60 \,\text{mixture}$ of ethanol:water.

- 19. A method for lessening the incidence of side-effects in a patient associated with the oral administration of terazosin, wherein the method comprises administering said terazosin in a transdermal delivery system over at least twenty-four hours and thereby lessening the incidence of side effects.
- 20. The method of claim 19 wherein said terazosin is administered in a transdermal delivery system applied to the skin of a human patient for about 3 to about 5 days.
- 21. The method of claim 19, wherein said transdermal delivery system has a mean relative release rate from about 1.0 μ g/hour/cm² to about 30 μ g/hour/cm² of said transdermal delivery system.
- 22. A transdermal delivery system containing terazosin or a pharmaceutically acceptable salt thereof which provides a mean relative release rate from about 1.0 µg/hour/cm² to about 30 µg/hour/cm² of said transdermal delivery system; a plasma level of terazosin of at least about 1.0 ng/ml by about 6 hours after application of said transdermal delivery system onto the skin of the patient; and a plasma level of terazosin at steady-state from about 10 to about 60 ng/ml.
- 23. The transdermal delivery system of claim 22, which provides a mean relative release rate from about 1.0 μ g/cm²/hr to about 30.0 μ g/cm²/hr at 24 hours; from about 1.0 μ g/cm²/hr to about 28.0 μ g/cm²/hr at 48 hours; and from about 1.0 μ g/cm²/hr to about 27.0 μ g/cm²/hr at 72 hours; as determined via an in-vitro permeation test utilizing a Valia-Chien cell where the membrane is a human cadaver skin and said cell has a receptor chamber containing a 40:60 mixture of ethanol:water.





- 25. The transdermal delivery system of claim 22, comprising a backing layer which is impermeable to the active substance, a pressure-sensitive adhesive reservoir layer, and optionally a removable protective layer, the reservoir layer by weight comprising 20 to 90% of a polymeric matrix, 0.1 to 30% of a softening agent, 0.1 to 20% of terazosin base or of a pharmaceutically acceptable salt thereof and 0.1 to 30% of a solvent for the terazosin or salt thereof.
- 26. The transdermal delivery system of claim 22, which is a laminated composite comprising (a) a polymer backing layer that is substantially impermeable to terazosin or the pharmaceutically acceptable salt thereof; and (b) a reservoir layer comprising an acrylate or silicone based pressure-sensitive adhesive, 0.1 to 20% of terazosin base or of a pharmaceutically acceptable salt thereof, 0.1 to 30% of an ester of a carboxylic acid acting as a softening agent and 0.1 to 30% of a solvent for terazosin having at least one acidic group.
- 27. The transdermal delivery system of claim 22, which maintains a plasma level of terazosin at steady-state from about 10 to about 60 ng/ml.
- 28. A transdermal delivery system comprising terazosin or a pharmaceutically acceptable salt thereof which maintains an effective mean relative release rate to provide a therapeutic blood level of said terazosin within three days from the initiation of the dosing interval, and thereafter maintaining a therapeutic blood level until the end of at least the five-day dosing interval.
- 29. The transdermal delivery system of claim 27, which has a mean relative release rate of terazosin effective to provide a plasma level of terazosin of at least about 1.0

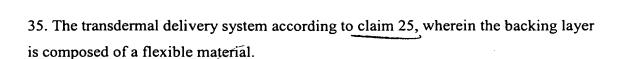


ng/ml by about 6 hours after application of said transdermal delivery system onto the skin of the patient.

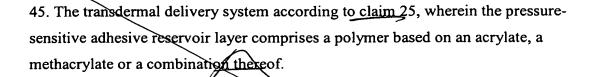
- 30. The transdermal delivery system of claim 27, which maintains a plasma level of terazosin at steady-state from about 10 to about 60 ng/ml.
- 31. The transdermal delivery system of claim 27, wherein said therapeutic plasma level is maintained from about 1.0 ng/ml to about 60 ng/ml during the dosing interval for said transdermal delivery system.
- 32. The transdermal delivery system of <u>claim 27</u>, wherein said transdermal delivery system has a mean relative release rate from about 1.0 μg/hour/cm² to about 30 μg/hour/cm² of said transdermal delivery system.
- 33. The transdermal delivery system of claim 27, wherein said transdermal delivery system has a mean relative release rate from about 1.0 μ g/cm²/hr to about 30.0 μ g/cm²/hr at 24 hours; from about 1.0 μ g/cm²/hr to about 28.0 μ g/cm²/hr at 48 hours; and

from about 1.0 µg/cm²/hr to about 26.0 µg/cm²/hr at 72 hours; and from about 1.0 µg/cm²/hr to about 25.0 µg/cm²/hr at 96 hours; as determined via an in-vitro permeation test utilizing a Valia-Chien cell where the membrane is a human cadaver skin and said cell has a receptor chamber containing a 40:60 mixture of ethanol:water.

34. The transdermal delivery system of claim 27, wherein said transdermal delivery system provides an in-vitro cumulative amount of permeation of from about 52.8 $\mu g/cm^2$ to about 686.4 $\mu g/cm^2$ at 24 hours; from about 105.6 $\mu g/cm^2$ to about 1372.8 $\mu g/cm^2$ at 48 hours; and from about 158.4 $\mu g/cm^2$ to about 2059.2 $\mu g/cm^2$ at 72 hours; and from about 211.2 $\mu g/cm^2$ to about 2745.6 $\mu g/cm^2$ at 96 hours; as determined via an in-vitro permeation test utilizing a Valia-Chien cell where the membrane is a human cadaver skin and said cell has a receptor chamber containing a 40:60 mixture of ethanol:water.



- 36. The transdermal delivery system according to claim 25, wherein the backing layer is selected from the group consisting of a flexible material, an inflexible material, and an aluminum foil.
- 37. The transdermal delivery system according to claim 25, wherein the polymeric matrix is at least one of rubber, a rubber-like synthetic homo-, co- or blockpolymer, a urethane and silicone.
- 38. The transdermal delivery system according to <u>claim 25</u>, wherein the softening agent is at least one of dodecanol, undecanol, octanol, a glycol and glycanol.
- 39. The transdermal delivery system according to claim 25, wherein the solvent is a monoester of a dicarboxylic acid.
- 40. The transdermal delivery system according to claim 25, wherein the solvent is at least one of monomethyl glutarate and monomethyl adipate.
- 41. The transdermal delivery system according to claim 25, wherein the polymer is a copolymer of 2-ethylhexyl acrylate, vinyl acetate and acrylic acid, the softening agent is dodecanol and the solvent is monomethyl glutarate.
- 42. The transdermal delivery system according to <u>claim 25</u>, wherein by weight the polymer is present in about 55%, the terazosin in about 10%, the solvent in about 10% and the softener in about 15%.
- 43. A transdermal delivery system according to <u>claim 25</u>, wherein the solvent is present in from about 25 to 100% the weight of the terazosin.
- 44. The transdermal delivery system according to claim 25, which also comprises a removable protective layer.



- 46. The transdermal delivery system according to claim 25, wherein the softening ester is a medium-chain triglyceride of the caprylic/capric acids of coconut oil.
- 47. The transdermal delivery system according to claim 25, wherein the solvent has at least one acidic group.
- 48. The method of claim 19, wherein said transdermal delivery system has a mean relative release rate from about 2.0 µg/hour/cm² to about 20 µg/hour/cm².